



\*\*\*\*\*

=> e engel, jurgen/in

E#	FILE	FREQUENCY	TERM
E1	USPAT	1	ENGEL, JOSEPH R/IN
E2	USPAT	1	ENGEL, JUERGEN/IN
E3	USPAT	61	--> ENGEL, JURGEN/IN
E4	USPAT	2	ENGEL, KARL/IN
E5	USPAT	1	ENGEL, KARSTEN/IN
E6	USPAT	4	ENGEL, KLAUS/IN
E7	USPAT	1	ENGEL, KLAUS EBERHARD/IN
E8	USPAT	2	ENGEL, KLAUS G/IN
E9	USPAT	13	ENGEL, KURT/IN
E10	USPAT	2	ENGEL, L DAVID/IN
E11	USPAT	3	ENGEL, LARRY J/IN
E12	USPAT	1	ENGEL, LAURENCE G/IN

=> s e3

L1 61 "ENGEL, JURGEN"/IN

=> s l1 and steril? (10a) lyophil?

86403 STERIL?

23088 LYOPHIL?

2221 STERIL? (10A) LYOPHIL?

L2 1 L1 AND STERIL? (10A) LYOPHIL?

=> d bib ab kwic

US PAT NO: 4,716,242 [IMAGE AVAILABLE] L2: 1 of 1  
DATE ISSUED: Dec. 29, 1987  
TITLE: Salts of oxazaphosphorine derivatives  
INVENTOR: \*\*Jurgen Engel\*\*, Alzenau, Federal Republic of Germany  
Axel Kleemann, Muhlheim, Federal Republic of Germany  
Ulf Niemeyer, Bielefeld, Federal Republic of Germany  
Peter Hilgard, Bielefeld, Federal Republic of Germany  
Joerg Pohl, Halle, Federal Republic of Germany  
ASSIGNEE: Asta-Werke Aktiengesellschaft Chemische Fabrik, Bielefeld,  
Federal Republic of Germany (foreign corp.)  
APPL-NO: 06/704,465  
DATE FILED: Feb. 22, 1985  
ART-UNIT: 124  
PRIM-EXMR: Anton H. Sutto  
LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 4,716,242 [IMAGE AVAILABLE] L2: 1 of 1

ABSTRACT:

There are provided new antitumor salts of oxazaphosphorine derivatives of the formula ##STR1## where R.sub.1, R.sub.2, and R.sub.3 are the same or

different and represent hydrogen, methyl, ethyl, 2-chloroethyl, or 2-methanesulfonyloxyethyl and wherein at least two of these residues are 2-chloroethyl and/or 2-methanesulfonyl-oxyethyl and A is the group --S--alk--SO.sub.3 H or --N(OH)--CONH--alk--CO.sub.2 H and alk represents a C.sub.2 -C.sub.6 -alkylene residue optionally containing a mercapto group, whereby alk also can be --CH.sub.2 -- in case there is a carboxy group attached to the alk group, with homocysteinethiolactone or .alpha.-amino-.epsilon.-caprolactam or a basic compound of the formula: ##STR2## wherein R.sub.4 is a hydroxy group, an amino group or a C.sub.1 -C.sub.6 -alkoxy group, R.sub.5 is hydrogen or a difluoromethyl group, R.sub.6 is hydrogen, an indolyl-(3)-methyl residue, imidazolyl-(4)-methyl residue, a C.sub.1 -C.sub.10 -alkyl group or a C.sub.1 -C.sub.10 -alkyl group which is substituted by a hydroxy group, a C.sub.1 -C.sub.6 -alkoxy group, a mercapto group, a C.sub.1 -C.sub.6 -alkylmercapto group, a phenyl group, a hydroxy phenyl group, an amino-C.sub.1 -C.sub.6 -alkylmercapto group, an amino-C.sub.1 -C.sub.6 -alkoxy group, an amino group, an aminocarbonyl group, a ureido group (H.sub.2 NCONH--), a guanidino group or a C.sub.1 -C.sub.6 -alkoxycarbonyl group, or wherein R.sub.6 together with the structured portion >CR.sub.5 (NR.sub.7 R.sub.8) forms the proline residue, the 4-hydroxy-proline residue or the 2-oxo-3-amino-3-difluoromethyl-piperidine and the residues R.sub.7 and R.sub.8 represent hydrogen or C.sub.1 -C.sub.6 -alkyl residues.

=> e sauerbier, dieter/in

E#	FILE	FREQUENCY	TERM
E1	USPAT	36	SAUERBERG, PER/IN
E2	USPAT	4	SAUERBIER, CHARLES E/IN
E3	USPAT	12 -->	SAUERBIER, DIETER/IN
E4	USPAT	2	SAUERBIER, HEINZ/IN
E5	USPAT	3	SAUERBIER, MICHAEL/IN
E6	USPAT	2	SAUERBIER, REINER/IN
E7	USPAT	1	SAUERBREI, DARYL J/IN
E8	USPAT	1	SAUERBREY, ARNIM/IN
E9	USPAT	1	SAUERBREY, CHARLES A/IN
E10	USPAT	2	SAUERBREY, DAVID W/IN
E11	USPAT	1	SAUERBREY, DENNIS F/IN
E12	USPAT	1	SAUERBREY, HORST M/IN

=> s e3

L3 12 "SAUERBIER, DIETER"/IN

=> s 13 and steril? (10a) lyphophil?

86403 STERIL?  
4 LYPHOPHIL?  
0 STERIL? (10A) LYPHOPHIL?  
L4 0 L3 AND STERIL? (10A) LYPHOPHIL?

=> d 13 cit 1-

1. 5,750,131, May 12, 1998, Ifosfamide lyophilizate preparations;

Burkhard Wichert, et al., 424/422, 423; 514/54, 57, 59, 60, 110 [IMAGE AVAILABLE]

2. 5,728,738, Mar. 17, 1998, Injectable mesna solutions; Jorgen Engel, et al., 514/706, 709 [IMAGE AVAILABLE]

3. 5,696,172, Dec. 9, 1997, Injectable mesna solutions; Jorgen Engel, et al., 514/706 [IMAGE AVAILABLE]

4. 5,446,033, Aug. 29, 1995, Stabilized hexadecylphosphocholine solutions in glycerol alkyl ethers; Jorgen Engel, et al., 514/77, 723, 769, 784 [IMAGE AVAILABLE]

5. 5,358,718, Oct. 25, 1994, Tablet containing mesna as active substance and method of making same; \*\*Dieter Sauerbier\*\*, et al., 424/466, 464, 465, 474, 489; 514/772.3, 774, 777, 778, 781 [IMAGE AVAILABLE]

6. 5,262,169, Nov. 16, 1993, Tablets and granulates containing mesna as active substance; \*\*Dieter Sauerbier\*\*, et al., 424/465, 464, 469, 470, 474, 475, 489; 514/578, 770, 772.3, 774, 777, 778, 781, 784 [IMAGE AVAILABLE]

7. 5,252,341, Oct. 12, 1993, Tablets and granulates containing mesna as active substance; \*\*Dieter Sauerbier\*\*, et al., 424/489, 458, 464, 465, 470, 490 [IMAGE AVAILABLE]

8. 5,232,919, Aug. 3, 1993, Azelastine embonate and compositions which contain it; Gerhard Scheffler, et al., 514/212, 826; 540/599 [IMAGE AVAILABLE]

9. 5,204,335, Apr. 20, 1993, Ifosfamide lyophilisate and process for its preparation; \*\*Dieter Sauerbier\*\*, et al., 514/105, 79; 544/1; 558/81 [IMAGE AVAILABLE]

10. 5,158,776, Oct. 27, 1992, Solid oral dosage forms of ifosfamide; \*\*Dieter Sauerbier\*\*, et al., 424/451, 458, 463, 474, 482 [IMAGE AVAILABLE]

11. 4,959,215, Sep. 25, 1990, Ifosfamide-mesna lyophilizate and process for its preparation; \*\*Dieter Sauerbier\*\*, et al., 424/422, 423 [IMAGE AVAILABLE]

12. 4,952,575, Aug. 28, 1990, Solutions of oxaphosphorins having improved stability and process for the preparation thereof; \*\*Dieter\*\*  
\*\*Sauerbier\*\*, et al., 514/110 [IMAGE AVAILABLE]

=> s 13 and steril?

86403 STERIL?

L5 8 L3 AND STERIL?

=> d bib ab 1-

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L5: 1 of 8  
DATE ISSUED: May 12, 1998  
TITLE: Ifosfamide lyophilizate preparations  
INVENTOR: Burkhard Wichert, Bielefeld, Federal Republic of Germany  
\*\*Dieter Sauerbier\*\*, Oerlinghausen, Federal Republic of  
Germany  
Jurgen Rawert, Werther, Federal Republic of Germany  
ASSIGNEE: Asta Medica Aktiengesellschaft, Dresden, Federal Republic  
of Germany (foreign corp.)  
APPL-NO: 08/752,069  
DATE FILED: Nov. 19, 1996  
ART-UNIT: 121  
PRIM-EXMR: Joseph McKane  
LEGAL-REP: Cushman Darby & Cushman IP Group Of Pillsbury Madison &  
Sutro, LLP

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L5: 1 of 8

ABSTRACT:

The invention relates to improved ifosfamide preparations which are distinguished in that as primary auxiliary a polysaccharide, in general a glycan, preferably dextran, starches or cellulose, in particular dextrans having an MW of 20,000 to 85,000, modified starches such as hydroxyethyl starch and chemically modified celluloses such as hydroxyethylcellulose and sodium carboxymethylcellulose, a glycol ether, preferably polyethylene glycol, in particular polyethylene glycols having a molecular weight of 600 to 6000 or an amino acid, preferably alanine, leucine or glutamic acid, is added to them.

The improved ifosfamide preparation can also contain as an auxiliary a pharmaceutically customary buffer, for example acetate, citrate or tris buffer, preferably phosphate buffer.

In addition, improved ifosfamide preparations are obtained by addition of NaHCO.sub.3.

The ifosfamide preparations according to the invention can comprise one or a combination of several auxiliaries. Mesna can be added to the formulation as a uroprotector.

US PAT NO: 5,728,738 [IMAGE AVAILABLE] L5: 2 of 8  
DATE ISSUED: Mar. 17, 1998  
TITLE: Injectable mesna solutions  
INVENTOR: Jurgen Engel, Alzenau, Federal Republic of Germany  
Elisabeth Wolf-Heuss, Mosbach, Federal Republic of Germany  
Wolfgang Deger, Frankfurt, Federal Republic of Germany  
Giancarlo Camuglia, Frankfurt, Federal Republic of Germany  
\*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany  
ASSIGNEE: ASTA Medica Aktiengesellschaft, Dresden, Federal Republic  
of Germany (foreign corp.)  
APPL-NO: 08/474,246  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 125  
PRIM-EXMR: Theodore J. Criares  
LEGAL-REP: Cushman Darby & Cushman IP Group of Pillsbury Madison &  
Sutro LLP

US PAT NO: 5,728,738 [IMAGE AVAILABLE] L5: 2 of 8

ABSTRACT:

Injectable mesna solutions having a pH value higher than 7.5. The solutions have increased storage stability.

US PAT NO: 5,696,172 [IMAGE AVAILABLE] L5: 3 of 8

DATE ISSUED: Dec. 9, 1997

TITLE: Injectable mesna solutions

INVENTOR: Jurgen Engel, Alzenau, Federal Republic of Germany  
Elisabeth Wolf-Heuss, Mosbach, Federal Republic of Germany  
Wolfgang Deger, Frankfurt, Federal Republic of Germany  
Giancarlo Camuglia, Frankfurt, Federal Republic of Germany  
\*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany

ASSIGNEE: ASTA Medica Aktiengesellschaft, Dresden, Federal Republic of Germany (foreign corp.)

APPL-NO: 08/636,821

DATE FILED: Apr. 23, 1996

ART-UNIT: 125

PRIM-EXMR: Theodore J. Criares

LEGAL-REP: Cushman Darby & Cushman, IP Group of Pillsbury Madison & Sutro LLP

US PAT NO: 5,696,172 [IMAGE AVAILABLE] L5: 3 of 8

ABSTRACT:

Injectable mesna solutions having a pH value higher than 7.5. The solutions have increased storage stability.

US PAT NO: 5,232,919 [IMAGE AVAILABLE] L5: 4 of 8

DATE ISSUED: Aug. 3, 1993

TITLE: Azelastine embonate and compositions which contain it

INVENTOR: Gerhard Scheffler, Hanau, Federal Republic of Germany  
\*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany  
Jurgen Engel, Alzenau, Federal Republic of Germany

ASSIGNEE: Asta Pharma Aktiengesellschaft, Federal Republic of Germany (foreign corp.)

APPL-NO: 07/598,742

DATE FILED: Oct. 15, 1990

ART-UNIT: 125

PRIM-EXMR: Leonard Schenkman

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,232,919 [IMAGE AVAILABLE] L5: 4 of 8

ABSTRACT:

An embonic acid salt of azelastine is disclosed which does not possess the bitter taste of azelastine, and which therefore is suitable for orally administered formulations.

US PAT NO: 5,204,335 [IMAGE AVAILABLE] L5: 5 of 8

DATE ISSUED: Apr. 20, 1993

TITLE: Ifosfamide lyophilisate and process for its preparation  
INVENTOR: \*\*Dieter Sauerbier\*\*, Werther  
Uwe-Peter Dammann, Detmold  
Otto Isaac, Hanau, Federal Republic of Germany  
ASSIGNEE: Asta Pharma Aktiengesellschaft, Federal Republic of  
Germany (foreign corp.)  
APPL-NO: 07/703,703  
DATE FILED: May 21, 1991  
ART-UNIT: 123  
PRIM-EXMR: Alan L. Rotman  
LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,204,335 [IMAGE AVAILABLE] L5: 5 of 8

ABSTRACT:

Ifosfamide lyophilizate consisting substantially of ifosfamide and 0.1 to 17 parts by weight of a hexitol.

US PAT NO: 5,158,776 [IMAGE AVAILABLE] L5: 6 of 8  
DATE ISSUED: Oct. 27, 1992  
TITLE: Solid oral dosage forms of ifosfamide  
INVENTOR: \*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany  
Jurgen Engel, Alzenau, Federal Republic of Germany  
Eckhard Milschmann, Bielefeld, Federal Republic of Germany  
Klaus Molge, Bielefeld, Federal Republic of Germany  
Otto Isaac, Hanau, Federal Republic of Germany  
ASSIGNEE: Asta Medica Aktiengesellschaft, Federal Republic of  
Germany (foreign corp.)  
APPL-NO: 07/733,756  
DATE FILED: Jul. 24, 1991  
ART-UNIT: 152  
PRIM-EXMR: Thurman K. Page  
LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,158,776 [IMAGE AVAILABLE] L5: 6 of 8

ABSTRACT:

Solid oral ifosfamide formulations comprising a capsule containing a mass which consists essentially of the active substance ifosfamide and microcrystalline cellulose, or in the form of tablets which contain, in relation to one part by weight of ifosfamide,  
0.1-1.0 parts by weight of tribasic calcium phosphate and  
0.04-0.4 parts by weight of polyethylene glycol as well as in addition, related to the weight of the tablet  
5-60% by weight of a filling and flow regulating agent  
1-10% by weight of a disintegrant  
0.1-10% by weight of an antiadhesion agent and  
0.1-80% by weight of a binding agent.

US PAT NO: 4,959,215 [IMAGE AVAILABLE] L5: 7 of 8  
DATE ISSUED: Sep. 25, 1990  
TITLE: Ifosfamide-mesna lyophilizate and process for its  
preparation

INVENTOR:   \*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany  
              Otto Isaac, Hanau, Federal Republic of Germany  
              Wolfgang P. Brade, Wehrheim, Federal Republic of Germany  
ASSIGNEE:   Asta Pharma AG, Frankfurt am Main, Federal Republic of  
              Germany (foreign corp.)  
APPL-NO:    07/325,883  
DATE FILED:  Mar. 20, 1989  
ART-UNIT:   158  
PRIM-EXMR:   Thurman K. Page  
LEGAL-REP:   Cushman, Darby & Cushman

US PAT NO:   4,959,215 [IMAGE AVAILABLE]           L5: 7 of 8

ABSTRACT:

An ifosfamide-mesna lyophilizate consists substantially of ifosfamide, 0.1-1.0 parts by weight of mesna and 0.1 to 17 parts by weight of hexitol. The product is obtained by freeze drying an aqueous or aqueous-ethanolic solution of ifosfamide and mesna.

US PAT NO:   4,952,575 [IMAGE AVAILABLE]           L5: 8 of 8  
DATE ISSUED:  Aug. 28, 1990  
TITLE:       Solutions of oxaphosphorins having improved stability and  
              process for the preparation thereof  
INVENTOR:    \*\*Dieter Sauerbier\*\*, Werther, Federal Republic of Germany  
              Klaus Molge, Bielefeld, Federal Republic of Germany  
              Werner Weigert, Bielefeld, Federal Republic of Germany  
              Otto Issac, Hanau, Federal Republic of Germany  
ASSIGNEE:    Asta Pharma Aktiengesellschaft, Frankfurt am Main, Federal  
              Republic of Germany (foreign corp.)  
APPL-NO:    07/307,230  
DATE FILED:  Feb. 6, 1989  
ART-UNIT:    125  
PRIM-EXMR:   Jerome D. Goldberg  
LEGAL-REP:   Cushman, Darby & Cushman

US PAT NO:   4,952,575 [IMAGE AVAILABLE]           L5: 8 of 8

ABSTRACT:

Solutions comprising oxazaphosphorins having the general formula ##STR1## wherein R.sub.1, R.sub.2 and R.sub.3 are radicals and at least two of said radicals are 2-chloroethyl and/or 2-methanesulfonyloxyethyl and the remaining radical is selected from hydrogen, methyl and ethyl; and about 80% to about 100% (v/v) ethanol; wherein the oxazaphosphorin concentration is about 10% to about 70% (w/v); and a process for the preparation thereof.

=> s composition? and steril? (10a) filter?

467142 COMPOSITION?

86403 STERIL?

449367 FILTER?

11429 STERIL? (10A) FILTER?

L6    8384 COMPOSITION? AND STERIL? (10A) FILTER?



=> s 16 and lyophil?

23088 LYOPHIL?

L7 2894 L6 AND LYOPHIL?

=> s 17 and (medicinal or pharmaceutical)

12892 MEDICINAL

74331 PHARMACEUTICAL

L8 2140 L7 AND (MEDICINAL OR PHARMACEUTICAL)

=> s 17 and medicinal

12892 MEDICINAL

L9 245 L7 AND MEDICINAL

=> s 19 and cetorelix

7 CETRORELIX

L10 0 L9 AND CETRORELIX

=> s 19 and peptid?

29716 PEPTID?

L11 84 L9 AND PEPTID?

=> d bib ab 1-25

US PAT NO: 5,776,459 L11: 1 of 84  
DATE ISSUED: Jul. 7, 1998  
TITLE: TCR V beta 5 \*\*peptides\*\*  
INVENTOR: Arthur A. Vandenbark, Portland, OR  
ASSIGNEE: Connetics Corporation, Palo Alto, CA (U.S. corp.)  
APPL-NO: 08/476,405  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 186  
PRIM-EXMR: Thomas M. Cunningham  
LEGAL-REP: David A. Lowin, Esq.

US PAT NO: 5,776,459 L11: 1 of 84

ABSTRACT:

TCR \*\*peptides\*\* from the V.beta.5 family, particularly those encompassing at least a part of the second complementarity determining region, are useful, e.g., in the diagnosis and treatment of multiple sclerosis.

US PAT NO: 5,773,581 [IMAGE AVAILABLE] L11: 2 of 84  
DATE ISSUED: Jun. 30, 1998  
TITLE: Conjugate of a solution stable G-CSF derivative and a water-soluble polymer  
INVENTOR: Roger Camble, Macclesfield, England

David Timms, Macclesfield, England  
Anthony James Wilkinson, Macclesfield, England  
ASSIGNEE: Zeneca Limited, London, United Kingdom (foreign corp.)  
APPL-NO: 08/488,457  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 181  
PRIM-EXMR: Jeffrey E. Russel  
LEGAL-REP: Cushman Darby & Cushman Intellectual Property Group of  
Pillsbury Madison & Sutro, LLP

US PAT NO: 5,773,581 [IMAGE AVAILABLE] L11: 2 of 84

ABSTRACT:

The present invention provides a conjugate of a solution stable G-CSF derivative and a water soluble polymer which is an acid stable physiologically active substance derived from naturally occurring G-CSF.

US PAT NO: 5,773,428 [IMAGE AVAILABLE] L11: 3 of 84

DATE ISSUED: Jun. 30, 1998  
TITLE: Matrix metalloprotease inhibitors  
INVENTOR: Arlindo Lucas Castelhana, New City, NY  
Teng Jiam Liak, Mississauga, Canada  
Stephen Horne, Burlington, Canada  
Alexander Krantz, Menlo Park, CA  
Zhengyu Yuan, Fremont, CA  
Jian Jeffrey Chen, Santa Clara, CA  
Paul David Cannon, San Carlos, CA  
Hal Van Wart, Los Altos, CA

ASSIGNEE: Syntex (U.S.A.) Inc., Palo Alto, CA (U.S. corp.)  
APPL-NO: 08/597,062  
DATE FILED: Feb. 5, 1996  
ART-UNIT: 122  
PRIM-EXMR: Robert T. Bond  
LEGAL-REP: Heller Ehrman White & McAuliffe

US PAT NO: 5,773,428 [IMAGE AVAILABLE] L11: 3 of 84

ABSTRACT:

Compounds of formula (I): ##STR1## as single stereoisomers or mixtures thereof and their pharmaceutically acceptable salts inhibit matrix metalloproteases, such as interstitial collagenases, and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases, for example arthritic diseases or bone resorption diseases, such as osteoporosis.

US PAT NO: 5,770,573 [IMAGE AVAILABLE] L11: 4 of 84

DATE ISSUED: Jun. 23, 1998  
TITLE: CS-1 \*\*peptidomimetics\*\*, \*\*compositions\*\* and methods of using the same  
INVENTOR: Thomas S. Arrhenius, San Diego, CA  
Mariano J. Elices, San Diego, CA  
Federico C.A. Gaeta, Olivenhain, CA  
ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/462,219  
DATE FILED: Jun. 5, 1995  
ART-UNIT: 181  
PRIM-EXMR: Cecilia J. Tsang  
ASST-EXMR: Anish Gupta  
LEGAL-REP: Campbell & Flores LLP

US PAT NO: 5,770,573 [IMAGE AVAILABLE] L11: 4 of 84

ABSTRACT:

The present invention contemplates a compound defined by the following formula: ##STR1## that inhibits the binding between the VLA-4 and the fibronectin CS-1 compound. Pharmaceutical \*\*compositions\*\* containing a contemplated compound and methods for treating immunoinflammatory conditions using the compound are also disclosed.

US PAT NO: 5,763,483 [IMAGE AVAILABLE] L11: 5 of 84

DATE ISSUED: Jun. 9, 1998  
TITLE: Carbocyclic compounds  
INVENTOR: Norbert W. Bischofberger, San Carlos, CA  
Choung U. Kim, San Carlos, CA  
Willard Lew, San Mateo, CA  
Hongtao Liu, Foster City, CA  
Matthew A. Williams, Foster City, CA  
ASSIGNEE: Gilead Sciences, Inc., Foster City, CA (U.S. corp.)  
APPL-NO: 08/774,345  
DATE FILED: Dec. 27, 1996  
ART-UNIT: 161  
PRIM-EXMR: Donald G. Daus  
LEGAL-REP: Mark L. Bosse

US PAT NO: 5,763,483 [IMAGE AVAILABLE] L11: 5 of 84

ABSTRACT:

Novel carbocyclic compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical \*\*compositions\*\* comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

US PAT NO: 5,763,409 [IMAGE AVAILABLE] L11: 6 of 84

DATE ISSUED: Jun. 9, 1998  
TITLE: Stable freeze-dried formulation comprising a protein assay kit  
INVENTOR: Alain Bayol, Tournefeuille, France  
Thierry Breul, Montpellier, France  
Patrice Dupin, Ramonville Saint Agne, France  
Philippe Faure, Maurin, France  
ASSIGNEE: Sanofi, Paris, France (foreign corp.)

APPL-NO: 08/432,839  
DATE FILED: May 2, 1995  
ART-UNIT: 181  
PRIM-EXMR: Cecilia J. Tsang  
ASST-EXMR: Abdel A. Mohamed  
LEGAL-REP: Jacobson, Price, Holman & Stern, PLLC

US PAT NO: 5,763,409 [IMAGE AVAILABLE] L11: 6 of 84

ABSTRACT:

A stable, freeze-dried, and pharmaceutically acceptable formulation includes a protein, a buffer, alanine, and mannitol, at a mass ratio of mannitol/alanine being 0.1-1, wherein the formulation being useful in an assay kit.

US PAT NO: 5,753,635 [IMAGE AVAILABLE] L11: 7 of 84

DATE ISSUED: May 19, 1998  
TITLE: Purine derivatives and their use as anti-coagulants  
INVENTOR: Brad O. Buckman, Oakland, CA  
Raju Mohan, Moraga, CA  
Michael M. Morrissey, Richmond, CA  
ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/689,979  
DATE FILED: Aug. 16, 1996  
ART-UNIT: 122  
PRIM-EXMR: Mark L. Berch  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,753,635 [IMAGE AVAILABLE] L11: 7 of 84

ABSTRACT:

This invention is directed to purine derivatives of the following formulae: ##STR1## wherein Z.sup.1 is --O--, --N(R.sup.10)-- or --CH.sub.2 O--;  
Z.sup.2 is --O--, --N(R.sup.10)-- or --OCH.sub.2 --;  
R.sup.1 and R.sup.4 are each independently hydrogen, halo, alkyl, --OR.sup.10, --C(O)OR.sup.10, --C(O)N(R.sup.10)R.sup.11, --N(R.sup.10)R.sup.11, --N(R.sup.10)C(O)R.sup.10, or --N(H)S(O).sub.2 R.sup.13 ;  
R.sup.2 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.10, --C(NH)N(H)C(O)OR.sup.13, --C(NH)N(H)C(O)R.sup.10, --C(NH)N(H)S(O).sub.2 R.sup.13, or --C(NH)N(H)C(O)N(H)R.sup.10 ;  
R.sup.3 is halo, alkyl, haloalkyl, haloalkoxy, ureido, cyano, guanidino, --OR.sup.10, --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.10, --C(O)N(R.sup.10)R.sup.11, --R.sup.12 --C(O)N(R.sup.10)R.sup.11, --CH(OH)C(O)N(R.sup.10)R.sup.11, --N(R.sup.10)R.sup.11, --R.sup.12 --N(R.sup.10)R.sup.11, --C(O)OR.sup.10, --R.sup.12 --C(O)OR.sup.10, --N(R.sup.10)C(O)R.sup.10, (1,2)-tetrahydropyrimidinyl (optionally substituted by alkyl), (1,2)-imidazolyl (optionally substituted by alkyl), or (1,2)-imidazoliny (optionally substituted by alkyl);  
R.sup.5 is hydrogen, halo, alkyl, cycloalkyl, haloalkyl, aryl, aralkyl, alkylthio, hydroxy, mercapto, alkoxy, or --N(R.sup.10)R.sup.11 ;  
and R.sup.6 is defined herein. These compounds are useful as anti-coagulants.

This invention is also directed to pharmaceutical **\*\*compositions\*\*** containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,753,262 [IMAGE AVAILABLE] L11: 8 of 84

DATE ISSUED: May 19, 1998

TITLE: Cationic lipid acid salt of 3beta[N-(N',  
N'-dimethylaminoethane) - carbamoyl]cholesterol and  
halogenated solvent-free preliposomal **\*\*lyophilate\*\***  
thereof

INVENTOR: Joseph W. Wyse, The Woodlands, TX

Charles D. Warner, The Woodlands, TX

ASSIGNEE: Aronex Pharmaceuticals, Inc., The Woodlands, TX (U.S.  
corp.)

APPL-NO: 08/485,866

DATE FILED: Jun. 7, 1995

ART-UNIT: 185

PRIM-EXMR: James Ketter

ASST-EXMR: John S. Brusca

LEGAL-REP: Lorusso & Loud

US PAT NO: 5,753,262 [IMAGE AVAILABLE] L11: 8 of 84

#### ABSTRACT:

This invention discloses a novel cationic lipid acid salt of 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol. This invention further discloses a transmembrane compatible body suitable for transfection of animals and animal cells with nucleotides such as DNA, RNA, and synthetic nucleotides. Such transmembrane compatible bodies arise from hydratable non-liposomal halogenated solvent-free **\*\*lyophilate\*\*** comprising 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol and DOPE. This invention yet further discloses a halogenated solvent-free aqueous solution, suitable for **\*\*lyophilization\*\*** into a preliposomal powder, wherein the solution comprises 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol wherein substantially all 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol is dissolved.

US PAT NO: 5,750,508 [IMAGE AVAILABLE] L11: 9 of 84

DATE ISSUED: May 12, 1998

TITLE: Sialic acid/fucose based medicaments

INVENTOR: Falguni Dasgupta, Alameda, CA

John Henry Musser, San Carlos, CA

ASSIGNEE: Glycomed Incorporated, Alameda, CA (U.S. corp.)

APPL-NO: 08/078,949

DATE FILED: Jun. 16, 1993

ART-UNIT: 121

PRIM-EXMR: Kathleen K. Fonda

LEGAL-REP: Lyon & Lyon

US PAT NO: 5,750,508 [IMAGE AVAILABLE] L11: 9 of 84

#### ABSTRACT:

Compounds that are synthetically inexpensive to make relative to the naturally occurring selectin ligands and that retain selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs or derivatives of these groups, such that sialic acid and fucose are separated by a non-carbohydrate linker that permits binding between those groups and the selectins, such compounds being represented by the following general structure formula I(a): ##STR1## wherein m and n are independently an integer of from 1 to 5, Y and Z are independently a connecting moiety selected from the group consisting of --CH<sub>2</sub>--, --O--, --S--, --NR' and --NR''-- (wherein R' and R'' are independently H or an alkyl containing 1 to 4 carbon atoms); X is a connecting moiety which is selected from the group consisting of --O--, --S-- and --N--; and --R'' may be --R''' or any moiety which does not interfere with the three-dimensional configuration of A or B so as to interfere with selectin binding and is preferably a moiety selected from the group consisting of --OR'', --SR'', --I, --N<sub>3</sub>, and --NR''', and A is selected from the group consisting of .alpha. and .beta. forms of sialic acid, Kemp's acid, Quinic acid, Glyceric acid, Lactic acid and acetic acid, and esters thereof and B is selected from the group consisting of .alpha. and .beta. forms of L-Fucose and esters and substituted forms thereof wherein one or more of the --OH groups is independently --F, or --NR<sup>sup.IV</sup>, R<sup>sup.V</sup> wherein R<sup>sup.IV</sup> and R<sup>sup.V</sup> are independently an alkyl contain 1 to 5 carbons.

US PAT NO: 5,747,031 [IMAGE AVAILABLE] L11: 10 of 84  
DATE ISSUED: May 5, 1998  
TITLE: Process for isolating immunoglobulins in whey  
INVENTOR: Frank E. Ruch, Falmouth, ME  
Elizabeth A. Acker, New Gloucester, ME  
ASSIGNEE: ImmuCell Corporation, Portland, MA (U.S. corp.)  
APPL-NO: 08/539,539  
DATE FILED: Oct. 5, 1995  
ART-UNIT: 183  
PRIM-EXMR: Lynette F. Smith  
ASST-EXMR: Brett Nelson  
LEGAL-REP: Kevin M. Farrell

US PAT NO: 5,747,031 [IMAGE AVAILABLE] L11: 10 of 84

ABSTRACT:

The present invention is directed to a process of isolating immunoglobulins from whey or whey concentrate and a concentrated immunoglobulin product which is highly purified. The process features the co-precipitation of lipids and non-immunoglobulin proteins simultaneously with a charged polymer and a fatty acid.

US PAT NO: 5,744,479 [IMAGE AVAILABLE] L11: 11 of 84  
DATE ISSUED: Apr. 28, 1998  
TITLE: Thienopyridine compounds which have useful pharmaceutical activity  
INVENTOR: Shuichi Furuya, Tsukuba, Japan  
Nobuo Choh, Tsukuba, Japan

Masataka Harada, Tsukuba, Japan  
Satoshi Sasaki, Tsukuba, Japan  
ASSIGNEE: Takeda Chemical Industries, Ltd., Osaka, Japan (foreign  
corp.)  
APPL-NO: 08/779,608  
DATE FILED: Jan. 7, 1997  
ART-UNIT: 123  
PRIM-EXMR: Zinna Northington Davis  
LEGAL-REP: Foley & Lardner

US PAT NO: 5,744,479 [IMAGE AVAILABLE] L11: 11 of 84

ABSTRACT:

The present thienopyrimidine derivatives and **\*\*compositions\*\*** having gonadotropin-releasing hormone antagonistic activity are useful as prophyactics or therapeutic agents for the prevention or treatment of several hormone dependent diseases, for example, a sex hormone dependent cancer (e.g. prostatic cancer, uterine cervical cancer, breast cancer, pituitary adenoma), benign prostatic hypertrophy, myoma of the uterus, endometriosis, precocious puberty, amenorrhea, premenstrual syndrome, polycystic ovary syndrome and acne vulgaris; are effective as a fertility controlling agent in both sexes (e.g. a pregnancy controlling agent and a menstrual cycle controlling agent); can be used as a male or female contraceptive, as an ovulation-inducing agent; can be used as an infertility treating agent by using a rebound effect owing to a stoppage of administration thereof; and are useful for modulating estrous cycles in animals in the field of animal husbandry, as agents for improving the quality of edible meat or promoting the growth of animals; and as agents for promoting spawning in fish.

US PAT NO: 5,731,311 [IMAGE AVAILABLE] L11: 12 of 84  
DATE ISSUED: Mar. 24, 1998  
TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants  
INVENTOR: Raju Mohan, Moraga, CA  
Michael M. Morrissey, Danville, CA  
ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/764,374  
DATE FILED: Dec. 12, 1996  
ART-UNIT: 123  
PRIM-EXMR: Patricia L. Morris  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,731,311 [IMAGE AVAILABLE] L11: 12 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11 ;  
R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11 ;  
R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2, --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,

--OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12 ;  
R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12);  
R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or  
R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl, N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,731,308 [IMAGE AVAILABLE] L11: 13 of 84  
DATE ISSUED: Mar. 24, 1998  
TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants  
INVENTOR: Raju Mohan, Moraga, CA  
Michael M. Morrissey, Danville, CA  
ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/764,133  
DATE FILED: Dec. 12, 1996  
ART-UNIT: 123  
PRIM-EXMR: Patricia L. Morris  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,731,308 [IMAGE AVAILABLE] L11: 13 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11 ;  
R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11 ;  
R.sup.4 is halo, lower haloalkyl, imidazolyl, --C (NH)NH.sub.2, --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11, --OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12 ;  
R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12);  
R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or  
R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl, N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.



US PAT NO: 5,728,697 [IMAGE AVAILABLE] L11: 14 of 84  
DATE ISSUED: Mar. 17, 1998  
TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants  
INVENTOR: Raju Mohan, Moraga, CA  
Michael M. Morrissey, Danville, CA  
ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/766,373  
DATE FILED: Dec. 12, 1996  
ART-UNIT: 123  
PRIM-EXMR: Patricia L. Morris  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,728,697 [IMAGE AVAILABLE] L11: 14 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11 ;  
R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11 ;  
R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2, --C(NH)NHOR.sup.11, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12 ;  
R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12);  
R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or  
R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl, N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,726,198 [IMAGE AVAILABLE] L11: 15 of 84  
DATE ISSUED: Mar. 10, 1998  
TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants  
INVENTOR: Raju Mohan, Moraga, CA  
Michael M. Morrissey, Danville, CA  
ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/766,152  
DATE FILED: Dec. 12, 1996  
ART-UNIT: 123  
PRIM-EXMR: Patricia L. Morris  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,726,198 [IMAGE AVAILABLE] L11: 15 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the

following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2,  
 --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11  
 ;  
 R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower  
 haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --  
 C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or  
 --N(H)S(O).sub.2 R.sup.11 ;  
 R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2,  
 --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,  
 --OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n  
 is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12 ;  
 R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower  
 haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or  
 aryl (optionally substituted by one or more substituents selected from  
 the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl,  
 lower alkoxy and --N(R.sup.11)R.sup.12);  
 R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or  
 lower aralkyl; or  
 R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,  
 N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable  
 salt thereof, are disclosed herein as being inhibitors of factor Xa and  
 thereby being useful as anticoagulants.

US PAT NO: 5,726,173 [IMAGE AVAILABLE] L11: 16 of 84  
 DATE ISSUED: Mar. 10, 1998  
 TITLE: N,N-di (aryl) cyclic urea derivatives as anti-coagulants  
 INVENTOR: Raju Mohan, Moraga, CA  
 Michael M. Morrissey, Danville, CA  
 ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
 APPL-NO: 08/762,888  
 DATE FILED: Dec. 12, 1996  
 ART-UNIT: 123  
 PRIM-EXMR: Patricia L. Morris  
 LEGAL-REP: Carol J. Roth

US PAT NO: 5,726,173 [IMAGE AVAILABLE] L11: 16 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the  
 following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2,  
 --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11  
 ;  
 R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower  
 haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --  
 C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or  
 --N(H)S(O).sub.2 R.sup.11 ;  
 R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2,  
 --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,  
 --OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n  
 is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12 ;  
 R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower  
 haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or  
 aryl (optionally substituted by one or more substituents selected from  
 the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl,

lower alkoxy and --N(R.sup.11)R.sup.12);  
R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or  
R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl, N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,717,095 [IMAGE AVAILABLE] L11: 17 of 84  
DATE ISSUED: Feb. 10, 1998  
TITLE: Nucleotide analogs  
INVENTOR: Murty N. Arimilli, Fremont, CA  
Robert J. Jones, Millbrae, CA  
Ernest J. Prisbe, Los Altos, CA  
ASSIGNEE: Gilead Sciences, Inc., Foster City, CA (U.S. corp.)  
APPL-NO: 08/774,240  
DATE FILED: Dec. 27, 1996  
ART-UNIT: 121  
PRIM-EXMR: Michael G. Ambrose  
LEGAL-REP: Daryl D. Muenchau

US PAT NO: 5,717,095 [IMAGE AVAILABLE] L11: 17 of 84

ABSTRACT:

A cyclic nucleotide phosphonate ester characterized by the presence of an n-butyl salicylate ester group linked to the phosphorus atom of cHPMPC is disclosed. The analog comprises an ester bond that is hydrolyzed in vivo to yield a corresponding phosphonate nucleotide analog.

US PAT NO: 5,714,140 [IMAGE AVAILABLE] L11: 18 of 84  
DATE ISSUED: Feb. 3, 1998  
TITLE: Method for inhibiting the production of bioactive IL-1 by administering M-CSF  
INVENTOR: Gideon Strassmann, Washington, DC  
ASSIGNEE: Otsuka Pharmaceutical Co., Ltd., Japan (foreign corp.)  
APPL-NO: 08/347,254  
DATE FILED: Nov. 23, 1994  
ART-UNIT: 182  
PRIM-EXMR: John Ulm  
ASST-EXMR: Prema Mertz  
LEGAL-REP: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.

US PAT NO: 5,714,140 [IMAGE AVAILABLE] L11: 18 of 84

ABSTRACT:

This invention provides medical uses of a M-CSF, particularly a method and **\*\*composition\*\*** for treating inflammatory disease and allergy using natural M-CSF or recombinant M-CSF or the derivatives thereof.

US PAT NO: 5,707,974 [IMAGE AVAILABLE] L11: 19 of 84  
DATE ISSUED: Jan. 13, 1998  
TITLE: Method of synthesis of 2-O-desulfated heparin and use

thereof for inhibition of elastase and cathepsin G  
INVENTOR: Thomas P. Kennedy, Richmond, VA  
ASSIGNEE: Cavalier Pharmaceuticals, Richmond, VA (U.S. corp.)  
APPL-NO: 08/478,199  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 188  
PRIM-EXMR: Herbert J. Lilling  
LEGAL-REP: Needle & Rosenberg

US PAT NO: 5,707,974 [IMAGE AVAILABLE] L11: 19 of 84

ABSTRACT:

A method and medicament for the inhibition of neutrophil elastase and cathepsin G in mammals comprising administering a treatment effective amount of 2-O-desulfated heparin to a mammal in need thereof. The medicament preferably is administered by aerosolization or by intravenous (IV) injection. Preferably, the 2-O-desulfated heparin medicament includes a physiologically acceptable carrier which may be selected from the group consisting of physiologically buffered saline, normal saline, and distilled water. Additionally provided is a method of synthesizing 2-O-desulfated heparin.

US PAT NO: 5,705,658 [IMAGE AVAILABLE] L11: 20 of 84

DATE ISSUED: Jan. 6, 1998

TITLE: Azido containing tetrahydro furan compounds

INVENTOR: Richard Goschke, Bottmingen, Switzerland  
Jurgen Klaus Maibaum, Weil-Haltingen, Federal Republic of Germany  
Walter Schilling, Himmelried, Switzerland  
Stefan Stutz, Basel, Switzerland  
Pascal Rigollier, Sierentz, France  
Yasuchika Yamaguchi, Basel, Switzerland  
Nissim Claude Cohen, Village-Neuf, France  
Peter Herold, Arlesheim, Switzerland

ASSIGNEE: Novartis Corporation, Summit, NJ (U.S. corp.)

APPL-NO: 08/800,671

DATE FILED: Feb. 14, 1997

ART-UNIT: 121

PRIM-EXMR: Robert W. Ramsuer

LEGAL-REP: Gregory D. Ferraro

US PAT NO: 5,705,658 [IMAGE AVAILABLE] L11: 20 of 84

ABSTRACT:

.delta.-Amino-.gamma.-hydroxy-.omega.-aryl-alkanoic acid amides of formula I ##STR1## and the salts thereof, have renin-inhibiting properties and can be used as antihypertensive \*\*medicinal\*\* active ingredients.

US PAT NO: 5,693,641 [IMAGE AVAILABLE] L11: 21 of 84

DATE ISSUED: Dec. 2, 1997

TITLE: Bicyclic pyrimidine derivatives and their use as anti-coagulants

INVENTOR: Brad O. Buckman, Oakland, CA  
Raju Mohan, Moraga, CA  
Michael M. Morrissey, Danville, CA  
ASSIGNEE: Berlex Laboratories Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/699,372  
DATE FILED: Aug. 16, 1996  
ART-UNIT: 122  
PRIM-EXMR: Matthew V. Grumbling  
ASST-EXMR: Bruck Kifle  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,693,641 [IMAGE AVAILABLE] L11: 21 of 84

ABSTRACT:

This invention is directed to bicyclic pyrimidine derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical \*\*compositions\*\* containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,691,364 [IMAGE AVAILABLE] L11: 22 of 84

DATE ISSUED: Nov. 25, 1997  
TITLE: Benzamidine derivatives and their use as anti-coagulants  
INVENTOR: Brad O. Buckman, Oakland, CA  
David D. Davey, El Sobrante, CA  
William J. Guilford, San Leandro, CA  
Michael M. Morrissey, Danville, CA  
Howard P. Ng, El Sobrante, CA  
Gary B. Phillips, Pleasant Hill, CA  
Shung C. Wu, El Cerrito, CA  
Wei Xu, Richmond, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)  
APPL-NO: 08/473,385  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 123  
PRIM-EXMR: Alan L. Rotman  
LEGAL-REP: Carol J. Roth

US PAT NO: 5,691,364 [IMAGE AVAILABLE] L11: 22 of 84

ABSTRACT:

This invention is directed to benzamidine derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical \*\*compositions\*\* containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,686,486 [IMAGE AVAILABLE] L11: 23 of 84

DATE ISSUED: Nov. 11, 1997  
TITLE: 4-hydroxy-benzopyran-2-ones and 4-hydroxy-cycloalkyl[b]pyran-2-ones useful to treat retroviral infections  
INVENTOR: Paul Kosta Tomich, Kalamazoo, MI

Michael John Bohanon, Gobles, MI  
Steven Ronald Turner, Kalamazoo, MI  
Joseph Walter Strohbach, Mendon, MI  
Suvit Thaisrivongs, Kalamazoo, MI  
Richard C. Thomas, Kalamazoo, MI  
Karen Rene Romines, Paw Paw, MI  
Chih-Ping Yang, Taipei, TAIWAN, PROVINCE OF CHINA  
Paul Adrian Aristoff, Kalamazoo, MI  
Harvey Irving Skulnick, Kalamazoo, MI  
Paul D. Johnson, Portage, MI  
Ronald B. Gammill, Portage, MI  
Qingwei Zhang, Kalamazoo, MI  
Gordon L. Bundy, Portage, MI  
David John Anderson, Kalamazoo, MI  
Lee S. Banitt, Kalamazoo, MI

ASSIGNEE: Pharmacia & Upjohn Company, Kalamazoo, MI (U.S. corp.)  
APPL-NO: 08/492,068  
DATE FILED: Aug. 4, 1995  
ART-UNIT: 123  
PRIM-EXMR: C. Warren Ivy  
ASST-EXMR: D. Margaret M. Mach  
LEGAL-REP: Martha A. Gammill

US PAT NO: 5,686,486 [IMAGE AVAILABLE] L11: 23 of 84

ABSTRACT:

The present invention relates to compounds of formula I which are 4-hydroxy-benzopyran-2-ones and 4-hydroxy-cycloalkyl[b]pyran-2-ones useful for inhibiting a retrovirus in a mammalian cell infected with said retrovirus. ##STR1## Wherein R.sub.10 and R.sub.20 taken together are: ##STR2##

US PAT NO: 5,679,665 [IMAGE AVAILABLE] L11: 24 of 84  
DATE ISSUED: Oct. 21, 1997

TITLE: Pharmaceutical formulation comprised of  
polymyxintrimethoprim and an anti-inflammatory drug for  
ophthalmic and otic topical use

INVENTOR: Michael Van Wie Bergamini, El Masnou, Spain  
Teresa Borrás Sanjurjo, El Masnou, Spain  
Jordi Coll Colomer, Barcelona, Spain  
Ricardo Notivol Paino, Barcelona, Spain  
Carmen Oros Laguens, Barcelona, Spain  
Jose Alberto Vallet Mas, Barcelona, Spain

ASSIGNEE: Laboratorios Cusi, S.A., El Masnou, Spain (foreign corp.)  
APPL-NO: 08/549,556  
DATE FILED: Oct. 27, 1995  
ART-UNIT: 125  
PRIM-EXMR: Zohreh Fay  
LEGAL-REP: Darby & Darby

US PAT NO: 5,679,665 [IMAGE AVAILABLE] L11: 24 of 84

ABSTRACT:

It comprises: 0.005-1.0% of trimethoprim or a pharmaceutically acceptable salt thereof; 0.01-0.3% of polymyxin or a pharmaceutically acceptable salt thereof; 0.001-5% of a steroidal or non-steroidal anti-inflammatory drug and, optionally, one or more ingredients selected from among isotonizing agents, pH buffers, viscosity modifying agents, wetting agents, chelating agents, anti-oxidants, preservatives and vehicles. The formulation has a pH between 4 and 8.5.

It is applicable in the treatment of ophthalmic and otic infections accompanied by inflammation.

US PAT NO: 5,679,321 [IMAGE AVAILABLE] L11: 25 of 84

DATE ISSUED: Oct. 21, 1997

TITLE: Sialic acid/fucose based medicaments

INVENTOR: Falguni Dasgupta, Alameda, CA

John Henry Musser, San Carlos, CA

ASSIGNEE: Glycomed Incorporated, Alameda, CA (U.S. corp.)

APPL-NO: 08/468,788

DATE FILED: Jun. 6, 1995

ART-UNIT: 187

PRIM-EXMR: Paula K. Hutzell

ASST-EXMR: N. M. Minnifield

LEGAL-REP: Lyon & Lyon LLP

US PAT NO: 5,679,321 [IMAGE AVAILABLE] L11: 25 of 84

#### ABSTRACT:

Compounds that are synthetically inexpensive to make relative to the naturally occurring selectin ligands and that retain selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs or derivatives of these groups, such that sialic acid and fucose are separated by a non-carbohydrate linker that permits binding between those groups and the selectins, such compounds being represented by the following general structural formula I(a): ##STR1## wherein m and n are independently an integer of from 1 to 5, Y and Z are independently a connecting moiety selected from the group consisting of --CH<sub>2</sub>--, --O--, --S--, --NR' and --NR'R"-- (wherein R' and R" are independently H or an alkyl containing 1 to 4 carbon atoms); X is a connecting moiety which is selected from the group consisting of --O--, --S-- and --N--; and --R" may be --R" or any moiety which does not interfere with the three-dimensional configuration of A or B so as to interfere with selectin binding and is preferably a moiety selected from the group consisting of --OR", --SR", --I, --N<sub>3</sub>, and --NR'R", and A is selected from the group consisting of .alpha. and .beta. forms of sialic acid, Kemp's acid, Quinic acid, Glyceric acid, Lactic acid and acetic acid, and esters thereof and B is selected from the group consisting of .alpha. and .beta. forms of L-Fucose and esters and substituted forms thereof wherein one or more of the --OH groups is independently --F, or --NR<sub>IV</sub>, R<sub>V</sub> wherein R<sub>IV</sub> and R<sub>V</sub> are independently an alkyl contain 1 to 5 carbons.

=> s 18 and filter sterilization

301079 FILTER

21232 STERILIZATION  
190 FILTER STERILIZATION  
(FILTER(W)STERILIZATION)  
L12 32 L8 AND FILTER STERILIZATION

=> d bib ab 1-

US PAT NO: 5,763,585 [IMAGE AVAILABLE] L12: 1 of 32  
DATE ISSUED: Jun. 9, 1998  
TITLE: Method of making MHC-peptide complexes using metal chelate  
affinity chromatography  
INVENTOR: Bishwajit Nag, Pacifica, CA  
ASSIGNEE: Anergen, Inc., Redwood City, CA (U.S. corp.)  
APPL-NO: 08/227,372  
DATE FILED: Apr. 14, 1994  
ART-UNIT: 187  
PRIM-EXMR: Anthony C. Caputa  
LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,763,585 [IMAGE AVAILABLE] L12: 1 of 32

ABSTRACT:

The present invention provides a method for the purification and characterization of MHC-peptide complexes useful in ameliorating immunological disorders, such as, for example, autoimmune diseases, allergic responses and transplant responses. The method disclosed is a one-step method based on the use of metal chelate affinity chromatography to separate the MHC-peptide complexes of interest from both uncomplexed MHC molecules and other endogenous MHC-peptide bound complexes.

US PAT NO: 5,753,631 [IMAGE AVAILABLE] L12: 2 of 32  
DATE ISSUED: May 19, 1998  
TITLE: Intercellular adhesion mediators  
INVENTOR: James C. Paulson, Sherman Oaks, CA  
Mary S. Perez, Carlsbad, CA  
Federico C. A. Gaeta, La Jolla, CA  
Robert M. Ratcliffe, Carlsbad, CA  
ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)  
APPL-NO: 08/457,886  
DATE FILED: May 31, 1995  
ART-UNIT: 121  
PRIM-EXMR: Kathleen K. Fonda  
LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,753,631 [IMAGE AVAILABLE] L12: 2 of 32

ABSTRACT:

The present invention is directed towards **\*\*compositions\*\*** and methods for reducing or controlling inflammation and for treating inflammatory disease processes and other pathological conditions mediated by intercellular adhesion. The **\*\*compositions\*\*** of the invention include compounds that selectively bind selectin receptors, the selectin binding activity being mediated by a carbohydrate moiety. The selectin-binding moieties of the invention are derivatives of a sialylated, fucosylated



N-acetyllactosamine unit of the Lewis X antigen. Compounds containing a selectin-binding moiety in both monovalent and multivalent forms are included in the invention. The compounds of the invention are provided as **\*\*pharmaceutical\*\*** **\*\*compositions\*\*** which include, for example, liposomes that carry selectin-binding moieties of the invention. The invention further includes immunoglobulins capable of selectively binding an oligosaccharide ligand that is recognized by a selectin receptor.

US PAT NO: 5,753,613 [IMAGE AVAILABLE] L12: 3 of 32

DATE ISSUED: May 19, 1998

TITLE: **\*\*Compositions\*\*** for the introduction of polyanionic materials into cells

INVENTOR: Steven Michial Ansell, Vancouver, Canada

Barbara Mui, Vancouver, Canada

Michael Hope, Vancouver, Canada

ASSIGNEE: Inex Pharmaceuticals Corporation, Vancouver, Canada  
(foreign corp.)

APPL-NO: 08/442,267

DATE FILED: May 16, 1995

ART-UNIT: 127

PRIM-EXMR: Nathan M. Nutter

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,753,613 [IMAGE AVAILABLE] L12: 3 of 32

#### ABSTRACT:

The present invention provides **\*\*compositions\*\*** and methods which are useful for the introduction of polyanionic materials into cells. The **\*\*compositions\*\*** are mixtures of cationic compounds and neutral lipids which are typically formulated as liposomes. The cationic compounds are quaternary ammonium compounds wherein the nitrogen has two attached long chain alkyl groups, at least one of which is unsaturated. The methods for transfecting cells involve (a) contacting the polyanionic materials with the **\*\*compositions\*\*** above to form a polyanionic material-liposome complex, and (b) contacting the complex with the cells to be transfected.

US PAT NO: 5,753,204 [IMAGE AVAILABLE] L12: 4 of 32

DATE ISSUED: May 19, 1998

TITLE: Biosynthetic binding proteins for immunotargeting

INVENTOR: James S. Huston, Chestnut Hill, MA

L. L. Houston, Oakland, CA

David B. Ring, Redwood City, CA

Hermann Oppermann, Medway, MA

ASSIGNEE: Chiron Corporation, Emeryville, CA (U.S. corp.)  
Creative BioMolecules, Inc., Hopkinton, MA (U.S. corp.)

APPL-NO: 08/461,838

DATE FILED: Jun. 5, 1995

ART-UNIT: 186

PRIM-EXMR: Frank C. Eisenschenk

LEGAL-REP: Testa Hurwitz & Thibault, LLP

US PAT NO: 5,753,204 [IMAGE AVAILABLE] L12: 4 of 32

ABSTRACT:

Disclosed is a formulation for targeting an epitope on an antigen expressed in a mammal. The formulation comprises a pharmaceutically acceptable carrier together with a dimeric biosynthetic construct for binding at least one preselected antigen. The biosynthetic construct contains two polypeptide chains, each of which define single-chain Fv (sFv) binding proteins and have C-terminal tails that facilitate the crosslinking of two sFv polypeptides. The resulting dimeric constructs have a conformation permitting binding of a preselected antigen by the binding site of each polypeptide chain when administered to a mammal. The formulation has particular utility in in vivo imaging and drug targeting experiments.

US PAT NO: 5,736,139 [IMAGE AVAILABLE] L12: 5 of 32

DATE ISSUED: Apr. 7, 1998

TITLE: Treatment of Clostridium difficile induced disease

INVENTOR: John A. Kink, Madison, WI

Bruce S. Thalley, Madison, WI

Douglas C. Stafford, Madison, WI

Joseph R. Firca, Vernon Hills, IL

Nisha V. Padhye, Madison, WI

ASSIGNEE: Ochidian Pharmaceuticals, Inc., Madison, WI (U.S. corp.)

APPL-NO: 08/480,604

DATE FILED: Jun. 7, 1995

ART-UNIT: 186

PRIM-EXMR: Frank C. Eisenschenk

LEGAL-REP: Medlen & Carroll, LLP

US PAT NO: 5,736,139 [IMAGE AVAILABLE] L12: 5 of 32

ABSTRACT:

The present provides neutralizing antitoxin directed against C. difficile toxins. These antitoxins are produced in arian species using soluble recombinant C. difficile toxin proteins. The avian antitoxins are designed so as to be orally administrable in therapeutic amounts and may be in any form (i.e., as a solid or in aqueous solution). Solid forms of the antitoxin may comprise an enteric coating. These antitoxins are useful in the treatment of humans and other animals intoxicated with at least one bacterial toxin. The invention further provides vaccines capable of protecting a vaccinated recipient from the morbidity and mortality associated with C. difficile infection. These vaccines are useful for administration to humans and other animals at risk of exposure to C. difficile toxins.

US PAT NO: 5,734,023 [IMAGE AVAILABLE] L12: 6 of 32

DATE ISSUED: Mar. 31, 1998

TITLE: MHC class II .beta. chain/peptide complexes useful in ameliorating deleterious immune responses

INVENTOR: Bishwajit Nag, Pacifica, CA

Brian R. Clark, Redwood City, CA

Somesh Sharma, Los Altos, CA

Harden McConnell, Stanford, CA

ASSIGNEE: Anergen Inc., Redwood City, CA (U.S. corp.)

APPL-NO: 08/483,021  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 186  
PRIM-EXMR: Thomas M. Cunningham  
LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,734,023 [IMAGE AVAILABLE] L12: 6 of 32

ABSTRACT:

The present invention is directed to complexes comprising an isolated MHC subunit component, an antigenic peptide and, in some cases, an effector component. The antigenic peptide is associated with the antigen binding site of the MHC subunit component. These complexes are useful in treating autoimmune disease.

US PAT NO: 5,714,577 [IMAGE AVAILABLE] L12: 7 of 32

DATE ISSUED: Feb. 3, 1998  
TITLE: Antimicrobial peptides  
INVENTOR: Ronald C. Montelaro, Pittsburgh, PA  
Sarah Burroughs Tencza, Pittsburgh, PA  
Timothy A. Mietzner, Pittsburgh, PA  
ASSIGNEE: University of Pittsburgh, Pittsburgh, PA (U.S. corp.)  
APPL-NO: 08/786,748  
DATE FILED: Jan. 24, 1997  
ART-UNIT: 187  
PRIM-EXMR: Paula K. Hutzell  
ASST-EXMR: Benet Prickril  
LEGAL-REP: Brumbaugh, Graves, Donohue & Raymond

US PAT NO: 5,714,577 [IMAGE AVAILABLE] L12: 7 of 32

ABSTRACT:

The invention is directed to antimicrobial peptides which correspond in sequence to selective amino acid sequences in viral transmembrane proteins. In particular, the proteins are derived from lentiviruses, primarily HIV and SIV. The peptides comprise arginine-rich sequences, which, when modeled for secondary structure, display a high amphipathicity and hydrophobic moment. They are highly inhibitory to microorganisms, while being significantly less active in regard to mammalian cells. As a result, the peptides of the invention may be defined as selective antimicrobial agents. The invention is also directed to antimicrobial peptides which are structural and functional analogs and homologs of the peptides and which exhibit selective inhibitory activity towards microorganisms. The invention is also directed to **\*\*pharmaceutical\*\*** **\*\*compositions\*\*** comprising the antimicrobial peptides of the invention and to methods for their use in inhibiting microbial growth and treatment of microbial infections.

US PAT NO: 5,695,769 [IMAGE AVAILABLE] L12: 8 of 32

DATE ISSUED: Dec. 9, 1997  
TITLE: Pasteurella multocida toxoid vaccines  
INVENTOR: Joseph C. Frantz, Lincoln, NE  
David S. Roberts, Lincoln, NE

Leroy A. Swearingin, Lincoln, NE  
Richard J. Kemmy, Gretna, NE  
ASSIGNEE: Pfizer Inc., New York, NY (U.S. corp.)  
APPL-NO: 08/244,052  
DATE FILED: Jul. 11, 1994  
ART-UNIT: 182  
PRIM-EXMR: Hazel F. Sidberry  
LEGAL-REP: Peter C. Richardson, Paul H. Ginsburg, Alan L. Koller

US PAT NO: 5,695,769 [IMAGE AVAILABLE] L12: 8 of 32

ABSTRACT:

This invention provides vaccine **\*\*compositions\*\***, methods of producing same and methods for protecting porcine animals against disease associated with infection by toxigenic Pasteurella multocida. The vaccines of this invention contain effective amounts of a P. multocida bacterin with a cell-bound toxoid and, optionally, a P. multocida free toxoid.

US PAT NO: 5,686,409 [IMAGE AVAILABLE] L12: 9 of 32  
DATE ISSUED: Nov. 11, 1997  
TITLE: Antirestenosis protein  
INVENTOR: D. Grant McFadden, Edmonton, Canada  
Alexandra Lucas, Edmonton, Canada  
ASSIGNEE: Research Corporation Technologies, Inc., Tucson, AZ (U.S. corp.)  
APPL-NO: 08/232,238  
DATE FILED: May 2, 1994  
ART-UNIT: 181  
PRIM-EXMR: Howard E. Schain  
ASST-EXMR: P. Lynn Touzeau  
LEGAL-REP: Scully, Scott, Murphy & Presser

US PAT NO: 5,686,409 [IMAGE AVAILABLE] L12: 9 of 32

ABSTRACT:

A method of treating primary and recurrent atheromatous plaque development is provided. The method involves administering a therapeutically effective amount of SERP-1, admixed in a pharmaceutically acceptable carrier to the intimal or luminal layer of arterial walls. Biologically active SERP-1 analogs are also provided.

US PAT NO: 5,620,956 [IMAGE AVAILABLE] L12: 10 of 32  
DATE ISSUED: Apr. 15, 1997  
TITLE: Methods of using CD8 binding domain peptides  
INVENTOR: Carol Clayberger, Stanford, CA  
Alan M. Krensky, Stanford, CA  
ASSIGNEE: The Board of Regents of the Leland Stanford Junior University, Stanford, CA (U.S. corp.)  
APPL-NO: 08/279,501  
DATE FILED: Jul. 22, 1994

ART-UNIT: 181  
PRIM-EXMR: Avis M. Davenport  
LEGAL-REP: Morrison & Foerster LLP

US PAT NO: 5,620,956 [IMAGE AVAILABLE] L12: 10 of 32

ABSTRACT:

The present invention provides \*\*compositions\*\* comprising a peptide having between about 7 and about 20 amino acid residues, the peptide being capable of binding a CD8 molecule on a cytolytic T lymphocyte (CTL) precursor and inhibiting differentiation of the CTL precursor to a mature CTL. The peptides have amino acid sequences substantially homologous to a sequence in an .alpha.3 domain of a human Class I MHC molecule. The sequence from the .alpha.3 domain is preferably between residue 220 and residue 235. The peptides typically comprise the sequences DQTQDTE (SEQ. ID No. 1) or EDQTQDTEL VETRP (SEQ. ID No. 2).

US PAT NO: 5,604,207 [IMAGE AVAILABLE] L12: 11 of 32

DATE ISSUED: Feb. 18, 1997

TITLE: Sialyl Le.sup.x analogues as inhibitors of cellular adhesion

INVENTOR: Shawn A. DeFrees, San Marcos, CA  
Federico C. A. Gaeta, Olivenhain, CA  
John J. Gaudino, Westlake Village, CA  
Zhongli Zheng, Lexington, MA  
Masaji Hayashi, Kobe, Japan

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/345,072

DATE FILED: Nov. 28, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,604,207 [IMAGE AVAILABLE] L12: 11 of 32

ABSTRACT:

The inventive compounds are analogues of sialyl Le.sup.x that inhibit cellular adhesion between a selectin and cells that express sialyl Le.sup.x on their surfaces, and their synthetic intermediates. An inventive compound has structure A, ##STR1## wherein Z is hydrogen, C.sub.1 -C.sub.6 acyl or ##STR2## Y is C(O), SO.sub.2, HNC(O), OC(O) or SC(O); R.sup.1 is an aryl, a substituted aryl or a phenyl C.sub.1 -C.sub.3 alkylene group, wherein an aryl group has one five- or six-membered aromatic ring, a fused five/six-membered aromatic ring, or two fused six-membered aromatic rings, which rings are hydrocarbyl, monooxahydrocarbyl, monothiahydrocarbyl, monoazahydrocarbyl or diazahydrocarbyl rings, and a substituted aryl group is an aryl group having a halo, trifluoromethyl, nitro, C.sub.1 -C.sub.18 alkyl, C.sub.1 -C.sub.18 alkoxy, amino, mono-C.sub.1 -C.sub.18 alkylamino, di-C.sub.1 -C.sub.18 alkylamino, benzylamino, C.sub.1 -C.sub.18 alkylbenzylamino, C.sub.1 -C.sub.18 thioalkyl or C.sub.1 -C.sub.18 alkyl carboxamido substituent, or R.sup.1 Y is allyloxycarbonyl or chloroacetyl;

R.sup.2 is hydrogen, C.sub.1 -C.sub.18 straight chain, branched chain or cyclic hydrocarbonyl, C.sub.1 -C.sub.6 alkyl C.sub.1 -C.sub.5 alkylene .omega.-carboxylate, .omega.-tri(C.sub.1 -C.sub.4 alkyl/phenyl)silyl C.sub.2 -C.sub.4 alkylene, monosaccharide or disaccharide, or OR.sup.2 together form a C.sub.1 -C.sub.18 straight chain, branched chain or cyclic hydrocarbonyl carbamate;  
R.sup.3 is hydrogen or C.sub.1 -C.sub.6 acyl;  
R.sup.4 is hydrogen, C.sub.1 -C.sub.6 alkyl or benzyl;  
R.sup.5 is hydrogen, benzyl, methoxybenzyl, dimethoxybenzyl or C.sub.1 -C.sub.6 acyl;  
R.sup.7 is methyl or hydroxymethyl; and  
X is C.sub.1 -C.sub.6 acyloxy, C.sub.2 -C.sub.6 hydroxylacyloxy, hydroxy, halo or azido.

US PAT NO: 5,576,305 [IMAGE AVAILABLE] L12: 12 of 32  
DATE ISSUED: Nov. 19, 1996  
TITLE: Intercellular adhesion mediators  
INVENTOR: Robert M. Ratcliffe, Carlsbad, CA  
ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)  
APPL-NO: 08/466,040  
DATE FILED: Jun. 6, 1995  
ART-UNIT: 121  
PRIM-EXMR: Gary L. Kunz  
ASST-EXMR: Kathleen Kahler Fonda  
LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,576,305 [IMAGE AVAILABLE] L12: 12 of 32

ABSTRACT:

The present invention is directed towards **\*\*compositions\*\*** and methods for reducing or controlling inflammation and for treating inflammatory disease processes and other pathological conditions mediated by intercellular adhesion. The **\*\*compositions\*\*** of the invention include compounds that selectively bind selectin receptors, the selectin binding activity being mediated by a carbohydrate moiety. The selectin-binding moieties of the invention are derivatives of a sialylated, fucosylated N-acetyllactosamine unit of the Lewis X antigen. Compounds containing a selectin-binding moiety in both monovalent and multivalent forms are included in the invention. The compounds of the invention are provided as **\*\*pharmaceutical\*\*** **\*\*compositions\*\*** which include, for example, liposomes that carry selectin-binding moieties of the invention.

US PAT NO: 5,567,434 [IMAGE AVAILABLE] L12: 13 of 32  
DATE ISSUED: Oct. 22, 1996  
TITLE: Preparation of liposome and lipid complex **\*\*compositions\*\***  
INVENTOR: Francis C. Szoka, Jr., San Francisco, CA  
ASSIGNEE: The Regents of the University of California, Oakland, CA (U.S. corp.)  
APPL-NO: 08/480,227  
DATE FILED: Jun. 7, 1995  
ART-UNIT: 152  
PRIM-EXMR: Carlos Azpuru  
LEGAL-REP: Crosby, Heafey, Roach & May

US PAT NO: 5,567,434 [IMAGE AVAILABLE] L12: 13 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,559,103 [IMAGE AVAILABLE] L12: 14 of 32

DATE ISSUED: Sep. 24, 1996

TITLE: Bivalent sialyl X saccharides

INVENTOR: Federico C. A. Gaeta, Foster City, CA

Shawn A. DeFrees, San Marcos, CA

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/278,020 DATE FILED: Jul. 20, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,559,103 [IMAGE AVAILABLE] L12: 14 of 32

ABSTRACT:

The present invention relates to bivalent sialyl Lewis X saccharide compounds that inhibit cellular binding to a selectin receptor.

**\*\*Pharmaceutical\*\* compositions\*\*** containing a compound of Formula I, and processes for making and using the same are disclosed. A contemplated bivalent sialyl Lewis X saccharide compound has a structure that corresponds to Formula I, below, ##STR1## wherein R is a directly linked divalent monosaccharide unit; Y is selected from the group consisting of C(O), SO.sub.2, HNC(O), OC(O) and SC(O);

R.sub.2 is selected from the group consisting of a C.sub.1 -C.sub.6 hydrocarbyl, an aryl, a substituted aryl and a phenyl C.sub.1 -C.sub.3 alkylene group, wherein an aryl group has one six-membered aromatic ring or two fused six-membered aromatic rings, which ring or rings are hydrocarbyl, monoazahydrocarbyl, or diazahydrocarbyl rings, and a substituted aryl group is a before-mentioned aryl group having a substituent selected from the group consisting of halo, trifluoromethyl, nitro, C.sub.1 -C.sub.6 alkyl, C.sub.1 -C.sub.6 alkoxy, amino, mono-C.sub.1 -C.sub.6 alkylamino, di-C.sub.1 -C.sub.6 alkylamino, benzylamino and C.sub.1 -C.sub.6 alkylbenzylamino;

R.sub.3 is methyl or hydroxymethyl;

X is selected from the group consisting of hydroxyl, C.sub.1 -C.sub.6 acyloxy, C.sub.2 -C.sub.6 hydroxylacyloxy, halo and azido;

Z.sub.1 and Z.sub.2 are .alpha.-L-fucosyl or hydrogen (H), but at least one of Z.sub.1 and Z.sub.2 is .alpha.-L-fucosyl; and

M is a proton (H.sup.+) or a pharmaceutically acceptable cation.

US PAT NO: 5,549,910 [IMAGE AVAILABLE] L12: 15 of 32

DATE ISSUED: Aug. 27, 1996

TITLE: Preparation of liposome and lipid complex \*\*compositions\*\*

INVENTOR: Francis C. Szoka, Jr., San Francisco, CA

ASSIGNEE: The Regents of the University of California, Oakland, CA  
(U.S. corp.)

APPL-NO: 08/179,291

DATE FILED: Jan. 10, 1994

ART-UNIT: 152

PRIM-EXMR: Carlos Azpuru

LEGAL-REP: Crosby, Heafey, Roach & May

US PAT NO: 5,549,910 [IMAGE AVAILABLE] L12: 15 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,534,499 [IMAGE AVAILABLE] L12: 16 of 32

DATE ISSUED: Jul. 9, 1996

TITLE: Lipophilic drug derivatives for use in liposomes

INVENTOR: Steve Ansell, Vancouver, Canada

ASSIGNEE: The University of British Columbia, Vancouver, Canada  
(foreign corp.)

APPL-NO: 08/246,010

DATE FILED: May 19, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,534,499 [IMAGE AVAILABLE] L12: 16 of 32

ABSTRACT:

The present invention provides novel lipophilic drug derivatives which are capable of being formulated in liposomes or micelles. These drug derivatives are known therapeutic agents which are covalently attached to a fatty acid chain of a phospholipid, glyceride, ceramide or 1,2-diacyloxypropane-3-amine. The linkage between the therapeutic agent and the lipid is one which can be cleaved in vivo, allowing the therapeutic agent to be separated from the micellar or liposomal formulation.

US PAT NO: 5,534,254 [IMAGE AVAILABLE] L12: 17 of 32

DATE ISSUED: Jul. 9, 1996

TITLE: Biosynthetic binding proteins for immuno-targeting

INVENTOR: James S. Huston, Chestnut Hill, MA

L. L. Houston, Oakland, CA

David B. Ring, Redwood City, CA

Hermann Oppermann, Medway, MA



ASSIGNEE: Chiron Corporation, Emeryville, CA (U.S. corp.)  
Creative BioMolecules, Inc., Hopkinton, MA (U.S. corp.)  
APPL-NO: 08/133,804  
DATE FILED: Oct. 7, 1993  
ART-UNIT: 186  
PRIM-EXMR: Donald E. Adams  
LEGAL-REP: Testa, Hurwitz & Thibault  
US PAT NO: 5,534,254 [IMAGE AVAILABLE] L12: 17 of 32  
ABSTRACT:

Disclosed is a formulation for targeting an epitope on an antigen expressed in a mammal. The formulation comprises a pharmaceutically acceptable carrier together with a dimeric biosynthetic construct for binding at least one preselected antigen. The biosynthetic construct contains two polypeptide chains, each of which define single-chain Fv (sFv) binding proteins and have C-terminal tails that facilitate the crosslinking of two sFv polypeptides. The resulting dimeric constructs have a conformation permitting binding of a said preselected antigen by the binding site of each said polypeptide chain when administered to said mammal. The formulation has particular utility in in vivo imaging and drug targeting experiments.

US PAT NO: 5,523,290 [IMAGE AVAILABLE] L12: 18 of 32  
DATE ISSUED: Jun. 4, 1996  
TITLE: Antiproliferation factor  
INVENTOR: Robert D. LeBoeuf, Birmingham, AL  
J. Edwin Blalock, Mountain Brook, AL  
Kenneth L. Bost, Birmingham, AL  
ASSIGNEE: University of Alabama at Birmingham Research Foundation,  
Birmingham, AL (U.S. corp.)  
APPL-NO: 08/240,802  
DATE FILED: May 10, 1994  
ART-UNIT: 184  
PRIM-EXMR: Robert A. Wax  
ASST-EXMR: Rebecca Prouty  
LEGAL-REP: Benjamin Aaron Adler

US PAT NO: 5,523,290 [IMAGE AVAILABLE] L12: 18 of 32

ABSTRACT:  
Mammalian pituitary discovered anti-proliferation factor that inhibits in vitro cellular proliferation of lymphoid, neuroendocrine and neural cells but not of fibroblast or endothelial cells. The present invention is directed to this antiproliferation factor which has been named suppressin and is a protein of Mr 63,000, sensitive to reduction and has a pI of 8.1. Suppressin is provided as a cell free preparation or in homogeneous form. The invention provides methods to purify suppressin, antibodies against suppressin and their use recombinant DNA molecules encoding suppressin, and \*\*pharmaceutical\*\* \*\*compositions\*\* for inducing regression or inhibiting growth of tumor or cancer cells and autoimmune diseases.

US PAT NO: 5,468,481 [IMAGE AVAILABLE] L12: 19 of 32  
DATE ISSUED: Nov. 21, 1995

TITLE: MHC class II-peptide conjugates useful in ameliorating  
autoimmunity

INVENTOR: Somesh D. Sharma, Los Altos, CA  
Brian R. Clark, Redwood City, CA  
Bernard L. Lerch, Palo Alto, CA

ASSIGNEE: Amergen, Inc., Redwood City, CA (U.S. corp.)

APPL-NO: 07/869,293

DATE FILED: Apr. 14, 1992

ART-UNIT: 183

PRIM-EXMR: Kay K. A. Kim

ASST-EXMR: T. Cunningham

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,468,481 [IMAGE AVAILABLE] L12: 19 of 32

ABSTRACT:

The present invention is directed to complexes consisting essentially of an isolated MHC component and an autoantigenic peptide associated with the antigen binding site of the MHC component. These complexes are useful in treating autoimmune disease.

US PAT NO: 5,468,478 [IMAGE AVAILABLE] L12: 20 of 32

DATE ISSUED: Nov. 21, 1995

TITLE: Conjugates of superoxide dismutase coupled to high  
molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA  
Ralph Somack, Oakland, CA  
L. David Williams, Fremont, CA

ASSIGNEE: Oxis International, Inc., Portland, OR (U.S. corp.)

APPL-NO: 08/138,301

DATE FILED: Oct. 18, 1993

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page

ASST-EXMR: P. Kulkosky

LEGAL-REP: Skjerven, Morrill, MacPherson, Franklin & Friel

US PAT NO: 5,468,478 [IMAGE AVAILABLE] L12: 20 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,436,228 [IMAGE AVAILABLE] L12: 21 of 32

DATE ISSUED: Jul. 25, 1995

TITLE: Chemotactic wound healing peptides

INVENTOR: Arnold E. Postlethwaite, 635 Bethany Rd., Eads, TN 38028  
Jerome Seyer, 1412 Carr Ave., Memphis, TN 38104  
Andrew Kang, 2334 Massey Rd., Memphis, TN 38119

APPL-NO: 08/127,909

DATE FILED: Sep. 28, 1993  
ART-UNIT: 181  
PRIM-EXMR: Jill Warden  
ASST-EXMR: Sheela J. Huff  
LEGAL-REP: Scully, Scott, Murphy & Presser

US PAT NO: 5,436,228 [IMAGE AVAILABLE] L12: 21 of 32

ABSTRACT:

Peptides corresponding to an no acid sequences in the C-terminal region of TGF-.beta. are provided. The peptides all contain at least a seven amino acid sequence substantially corresponding to the amino acid sequence of TGF-.beta.1 amino acids 368-374: VYYVGRK, as well as homologs and analogs thereof. The peptides have chemotactic activity towards fibroblasts, monocytes and neutrophils and induce fibroblast proliferation and collagen synthesis. The peptides may be used in **\*\*compositions\*\*** and methods for promoting wound healing.

US PAT NO: 5,366,963 [IMAGE AVAILABLE] L12: 22 of 32

DATE ISSUED: Nov. 22, 1994

TITLE: Gangliosides with immunosuppressive ceramide moieties

INVENTOR: Stephan Ladisch, Chevy Chase, MD

ASSIGNEE: The Regents of the University of California, Oakland, CA  
(U.S. corp.)

APPL-NO: 08/021,734

DATE FILED: Feb. 23, 1993

ART-UNIT: 183

PRIM-EXMR: Ronald W. Griffin

LEGAL-REP: Poms, Smith, Lande & Rose

US PAT NO: 5,366,963 [IMAGE AVAILABLE] L12: 22 of 32

ABSTRACT:

A method for suppressing immune responses in animals by administering a mixture of gangliosides to the animal where the gangliosides have heterogeneous ceramide structures containing fatty acid portions with carbon chain lengths of 21-30 or less than 18 carbon atoms. Ganglioside mixtures which are homogeneous with respect to the fatty acid portion are also effective immunosuppressive agents when the carbon chain length of the fatty acid portion is less than 18. **\*\*Compositions\*\*** containing the above specified ganglioside mixtures are also disclosed.

US PAT NO: 5,283,317 [IMAGE AVAILABLE] L12: 23 of 32

DATE ISSUED: Feb. 1, 1994

TITLE: Intermediates for conjugation of polypeptides with high  
molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA

L. David Williams, Fremont, CA

ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)

APPL-NO: 07/774,841

DATE FILED: Oct. 11, 1991

ART-UNIT: 152

PRIM-EXMR: Peter F. Kulkosky  
LEGAL-REP: Wegner, Cantor, Mueller & Player

US PAT NO: 5,283,317 [IMAGE AVAILABLE] L12: 23 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,277,914 [IMAGE AVAILABLE] L12: 24 of 32  
DATE ISSUED: Jan. 11, 1994

TITLE: Preparation of liposome and lipid complex \*\*compositions\*\*  
INVENTOR: Francis C. Szoka, Jr., San Francisco, CA  
ASSIGNEE: The Regents of the University of California, Oakland, CA  
(U.S. corp.)

APPL-NO: 07/741,937  
DATE FILED: Aug. 8, 1991  
ART-UNIT: 152  
PRIM-EXMR: Thurman K. Page  
ASST-EXMR: C. Azpuru  
LEGAL-REP: Fisher & Associates

US PAT NO: 5,277,914 [IMAGE AVAILABLE] L12: 24 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,215,680 [IMAGE AVAILABLE] L12: 25 of 32  
DATE ISSUED: Jun. 1, 1993

TITLE: Method for the production of medical-grade lipid-coated microbubbles, paramagnetic labeling of such microbubbles and therapeutic uses of microbubbles  
INVENTOR: Joseph S. D'Arrigo, Farmington, CT  
ASSIGNEE: Cavitation-Control Technology, Inc., Farmington, CT (U.S. corp.)  
APPL-NO: 07/550,620  
DATE FILED: Jul. 10, 1990  
ART-UNIT: 223  
PRIM-EXMR: Richard D. Lovering

ASST-EXMR: John M. Covert  
LEGAL-REP: Kramer, Brufsky & Cifelli

US PAT NO: 5,215,680 [IMAGE AVAILABLE] L12: 25 of 32

ABSTRACT:

This invention relates to a large scale method for the production of medical grade lipid-coated microbubbles, to the paramagnetic labeling of such microbubbles and to therapeutic applications for the microbubbles. More particularly, the invention relates to a method of the production of medical grade, concentrated suspensions of stable, paramagnetically derivatized or underivatized microbubbles useful for ultrasonic and magnetic resonance imaging and also relates to therapeutic interventions such as selective tumor destruction.

US PAT NO: 5,160,726 [IMAGE AVAILABLE] L12: 26 of 32

DATE ISSUED: Nov. 3, 1992

TITLE: \*\*Filter\*\* \*\*sterilization\*\* for production of colloidal,  
superparamagnetic MR contrast agents

INVENTOR: Lee Josephson, Arlington, MA  
Ernest V. Groman, Brookline, MA  
Stephen Palmacci, Walpole, MA

ASSIGNEE: Advanced Magnetics Inc., Cambridge, MA (U.S. corp.)

APPL-NO: 07/650,957

DATE FILED: Feb. 5, 1991

ART-UNIT: 129

PRIM-EXMR: Richard L. Raymond

ASST-EXMR: Gary E. Hollinden

LEGAL-REP: Bromberg & Sunstein

US PAT NO: 5,160,726 [IMAGE AVAILABLE] L12: 26 of 32

ABSTRACT:

An improvement is provided to a method for obtaining an in vivo MR image of an organ or tissue of an animal or human subject, of the type including administering to the subject as a contrast agent to enhance such MR image an effective amount of a colloid including superparamagnetic metal oxide particles dispersed in a physiologically acceptable carrier. In accordance with the improvement, the method includes preparing the colloid in a manner that provides a reduction in toxicity in comparison with that associated with administration of the colloid after terminal sterilization. The improvement may include sterilizing the colloid by filtration. In an additional embodiment, the colloid may be sterilized by filtration and preserved by \*\*lyophilization\*\*. The colloid may be \*\*lyophilized\*\* in the presence of a compatible excipient. The excipient utilized may include a dextran or a citrate anion. Other embodiments include related \*\*compositions\*\* and methods.

US PAT NO: 5,080,891 [IMAGE AVAILABLE] L12: 27 of 32

DATE ISSUED: Jan. 14, 1992

TITLE: Conjugates of superoxide dismutase coupled to high  
molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA  
Ralph Somack, Oakland, CA  
L. David Williams, Fremont, CA  
ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)  
APPL-NO: 07/560,996  
DATE FILED: Aug. 1, 1990  
ART-UNIT: 152  
PRIM-EXMR: Thurman K. Page  
ASST-EXMR: Peter F. Kulkosky  
LEGAL-REP: Wegner, Cantor, Mueller & Player

US PAT NO: 5,080,891 [IMAGE AVAILABLE] L12: 27 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,077,057 [IMAGE AVAILABLE] L12: 28 of 32

DATE ISSUED: Dec. 31, 1991

TITLE: Preparation of liposome and lipid complex \*\*compositions\*\*

INVENTOR: Francis C. Szoka, Jr., San Francisco, CA

ASSIGNEE: The Regents of the University of California, Oakland, CA  
(U.S. corp.)

APPL-NO: 07/605,155

DATE FILED: Oct. 29, 1990

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page

ASST-EXMR: Carlos Azpuru

LEGAL-REP: Irell & Manella

US PAT NO: 5,077,057 [IMAGE AVAILABLE] L12: 28 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and injecting the resulting solution into an aqueous solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,006,333 [IMAGE AVAILABLE] L12: 29 of 32

DATE ISSUED: Apr. 9, 1991

TITLE: Conjugates of superoxide dismutase coupled to high  
molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA  
L. David Williams, Fremont, CA  
ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)  
APPL-NO: 07/380,205  
DATE FILED: Jul. 13, 1989  
ART-UNIT: 155  
PRIM-EXMR: Peter F. Kulkosky  
LEGAL-REP: Wegner & Bretschneider

US PAT NO: 5,006,333 [IMAGE AVAILABLE] L12: 29 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 4,816,563 [IMAGE AVAILABLE] L12: 30 of 32  
DATE ISSUED: Mar. 28, 1989  
TITLE: Process for obtaining transfer factor from colostrum,  
transfer factor so obtained and use thereof  
INVENTOR: Gregory B. Wilson, Mount Pleasant, SC  
Gary V. Paddock, Mount Pleasant, SC  
ASSIGNEE: Amtron, Inc., Charleston, SC (U.S. corp.)  
APPL-NO: 06/670,596  
DATE FILED: Nov. 15, 1984  
ART-UNIT: 185  
PRIM-EXMR: Thomas G. Wiseman  
ASST-EXMR: Robin L. Teskin  
LEGAL-REP: John P. White, John J. Santalone

US PAT NO: 4,816,563 [IMAGE AVAILABLE] L12: 30 of 32

ABSTRACT:

Antigen specific excreted transfer factor may be obtained by collecting material, e.g. colostrum or milk, secreted by the mammary gland of a suitable lactating mammal, e.g. a cow having immunity to the antigen under suitable conditions such that materials which interfere with transfer factor efficacy are removed so as to obtain transfer factor. Colostrum or milk so collected may be used directly, typically after sterilization, or may be treated to further concentrate and/or purify transfer factor. Treatment to yield colostrum whey containing transfer factor is presently the preferred method for obtaining transfer factor for use in conferring immunity against diseases associated with antigens for which the transfer factor is specific. Cell-associated transfer factor specific for an antigen may also be obtained by incubation release from, or lysis of, cells obtained from the collected material. An alternative method for obtaining transfer factor is to recover it from the mammary tissue of a suitable lactating mammal. The transfer factor may be used in edible \*\*compositions\*\* and in \*\*pharmaceutical\*\* or veterinary \*\*compositions\*\* and in methods for conferring immunity in a human or lower animal to a disease associated with the antigen. The



transfer factor may then be used to prevent or treat the disease.

US PAT NO: 4,781,871 [IMAGE AVAILABLE] L12: 31 of 32  
DATE ISSUED: Nov. 1, 1988  
TITLE: High-concentration liposome processing method  
INVENTOR: Glenn West, III, San Carlos, CA  
Francis J. Martin, San Francisco, CA  
ASSIGNEE: Liposome Technology, Inc., Dover, DE (U.S. corp.)  
APPL-NO: 06/909,122  
DATE FILED: Sep. 18, 1986  
ART-UNIT: 223  
PRIM-EXMR: Richard D. Lovering  
LEGAL-REP: Ciotti & Murashige, Irell & Manella

US PAT NO: 4,781,871 [IMAGE AVAILABLE] L12: 31 of 32

ABSTRACT:

A method of preparing a concentrated liposome suspension having a lipid concentration of greater than about 250 .mu.m/ml and liposome sizes no greater than about 0.4 microns. A solution of vesicle-forming lipids in a chlorofluorocarbon solvent is injected under selected conditions into an aqueous medium, with continual solvent removal. During the lipid injection and solvent-removal steps, the liposomes formed in the aqueous medium are extruded through a membrane, to reduce liposome sizes to less than about 0.6 microns. The lipid injection, solvent removal, and extrusion steps are continued until a lipid concentration of at least about 150 .mu.m/ml is reached.

US PAT NO: 4,752,425 [IMAGE AVAILABLE] L12: 32 of 32  
DATE ISSUED: Jun. 21, 1988  
TITLE: High-encapsulation liposome processing method  
INVENTOR: Francis J. Martin, San Francisco, CA  
Glenn West, III, San Carlos, CA  
ASSIGNEE: Liposome Technology, Inc., Menlo Park, CA (U.S. corp.)  
APPL-NO: 06/908,765  
DATE FILED: Sep. 18, 1986  
ART-UNIT: 223  
PRIM-EXMR: Richard D. Lovering  
LEGAL-REP: Ciotti & Murashige, Irell & Manella

US PAT NO: 4,752,425 [IMAGE AVAILABLE] L12: 32 of 32

ABSTRACT:

A method of preparing a suspension of liposomes containing a water-soluble compound predominantly in liposome-encapsulated form. A solution of vesicle-forming lipids in a chlorofluorocarbon solvent is infused under selected conditions into an aqueous medium, with continual solvent removal. The lipid infusion and solvent removal steps are continued until a lipid concentration of at least about 150 .mu.m/ml is reached, at which point more than about half of the compound contained in the resultant liposome suspension is in encapsulated form.